

CLAIMS

We claim:

1. A dry formulation comprising:

at least one dose of coated oxazolidinone particles, each of the particles comprising a core comprising an oxazolidinone, and a polymer film coating at least part of the core; and

a mixture of sugars, comprising sorbitol and at least one other sugar, the sorbitol being present in a non-diarrheogenic amount per dose of the coated oxazolidinone particles.

2. The dry formulation of claim 1, wherein the oxazolidinone is selected from the group consisting of linezolid, N-((5S)-3-(3-fluoro-4-(4-(2-fluoroethyl)-3-oxopiperazin-1-yl)phenyl)-2-oxooxazolidin-5-ylmethyl)acetamide, (S)-N-[[3-[5-(3-pyridyl)thiophen-2-yl]-2-oxo-5-oxazolidinyl]methyl]acetamide, (S)-N-[[3-[5-(4-pyridyl)pyrid-2-yl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride and N-[[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide.

3. The dry formulation of claim 1, wherein the oxazolidinone is linezolid.

4. The dry formulation of claim 1, the polymer film coating at least 80% of the core of each of the particles.

5. The dry formulation of claim 1, wherein the coated oxazolidinone particles are microencapsulated oxazolidinone particles.

6. The dry formulation of claim 1, wherein the coated oxazolidinone particles are coacervated oxazolidinone particles.

7. The dry formulation of claim 1, wherein the polymer film comprises a hydrophobic polymer selected from the group consisting of: vinyl acetate, vinyl chloride, vinyl carbonate, methacrylic acid, a polymethacrylic acid copolymer, other polymethylmethacrylates, ethyl cellulose, nitrocellulose, vinylidene chloride-acrylonitrile copolymer, acrylonitrile-styrene copolymer, polyethylene, polyethylene oxide, polystyrene, ethylene vinyl acetate, cellulose acetate, cellulose acetate phthalate, cellulose acetate butyrate, hydroxypropylmethylcellulose phthalate.

8. The dry formulation of claim 1, wherein the polymer film comprises methacrylic acid

or a polymethacrylic acid copolymer.

9. The dry formulation of claim 1, wherein the polymer film is ethylcellulose.
10. The dry formulation of claim 1, wherein the polymer film further comprises a surfactant.
11. The dry formulation of claim 1, wherein the coated oxazolidinone particles have an average particle size of about 50 μm to about 600 μm .
12. The dry formulation of claim 1, the mixture of sugars being about 40% to about 90% by weight of the formulation.
13. The dry formulation of claim 1, the mixture of sugars being about 45% to about 55% by weight of the formulation.
14. The dry formulation of claim 1, wherein the weight ratio of sorbitol to the at least one other sugar in the dry formulation is at least about 1.3:1.
15. The dry formulation of claim 1, wherein the at least one other sugar is selected from the group consisting of: a polysaccharide, a oligosaccharide, a disaccharide, and a monosaccharide, or a mixture of two or more sugars selected from one or more of the above.
16. The dry formulation of claim 1, wherein the at least one other sugar comprises sucrose.
17. The dry formulation of claim 16, the mixture of sugars comprising about 20% to about 35% by weight sucrose, and about 25% to about 40% by weight sorbitol.
18. The dry formulation of claim 16, wherein the at least one other sugar further comprises fructose.
19. The dry formulation of claim 18, the mixture of sugars comprising about 30% to about 40% by weight sucrose, about 30% to about 40% by weight sorbitol, and about 5% to about 15% by weight fructose.
20. The dry formulation of claim 1, further comprising a flavoring agent.
21. The dry formulation of claim 1, further comprising a viscosity enhancing substance.
22. The dry formulation of claim 21, wherein the viscosity enhancing substance is selected from the group consisting of an alginate, carageenin, agar-agar, tragacanth gum, xanthan gum, guar gum, caroba gum, karaya gum, modified corn starch, carboxymethyl cellulose,

and crystalline cellulose alone or in combination with other hydrocolloids.

23. The dry formulation of claim 21, wherein the viscosity enhancing substance is a mixture of xanthan gum, microcrystalline cellulose, and sodium carboxymethylcellulose.

24. The dry formulation of claim 21, wherein the viscosity enhancing substance facilitates suspension of the particles in an aqueous solution in less than about ten (10) minutes after addition of the aqueous solution to the dry formulation.

25. The dry formulation of claim 21, wherein the viscosity enhancing substance facilitates suspension of the particles in an aqueous solution in less than about three (3) minutes after addition of the aqueous solution to the dry formulation.

26. The dry formulation of claim 1, wherein the aqueous liquid is water.

27. A suspension comprising a dry formulation suspended in an aqueous solution, the dry formulation comprising:

at least one dose of coated oxazolidinone particles, each of the particles comprising a core comprising an oxazolidinone, and a polymer film coating at least part of the core; and

a mixture of sugars comprising sorbitol and at least one other sugar, the sorbitol being present in a non-diarrheogenic amount per dose of the coated oxazolidinone particles.

28. The suspension of claim 27, wherein the oxazolidinone is selected from the group consisting of linezolid, N-((5S)-3-(3-fluoro-4-(4-(2-fluoroethyl)-3-oxopiperazin-1-yl)phenyl)-2-oxooxazolidin-5-ylmethyl)acetamide, (S)-N-[[3-[5-(3-pyridyl)thiophen-2-yl]-2-oxo-5-oxazolidinyl]methyl]acetamide, (S)-N-[[3-[5-(4-pyridyl)pyrid-2-yl]-2-oxo-5-oxazolidinyl]methyl]acetamide hydrochloride and N-[[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide.

29. The suspension of claim 27, wherein the oxazolidinone is linezolid.

30. The suspension of claim 27, the polymer film coating at least 80% of the core of each of the particles.

31. The suspension of claim 27, wherein the coated oxazolidinone particles are microencapsulated oxazolidinone particles.

32. The suspension of claim 27, wherein the coated oxazolidinone particles are

coacervated oxazolidinone particles.

33. The suspension of claim 27, wherein the polymer film comprises a hydrophobic polymer selected from the group consisting of: vinyl acetate, vinyl chloride, vinyl carbonate, methacrylic acid, a polymethacrylic acid copolymer, other polymethylmethacrylates, ethyl cellulose, nitrocellulose, vinylidene chloride-acrylonitrile copolymer, acrylonitrile-styrene copolymer, polyethylene, polyethylene oxide, polystyrene, ethylene vinyl acetate, cellulose acetate, cellulose acetate phthalate, cellulose acetate butyrate, hydroxypropylmethylcellulose phthalate.

34. The suspension of claim 27, wherein the polymer film comprises methacrylic acid or a polymethacrylic acid copolymer.

35. The suspension of claim 27, wherein the polymer film is ethylcellulose.

36. The suspension of claim 27, wherein the polymer film further comprises a surfactant.

37. The suspension of claim 27, wherein the coated oxazolidinone particles have an average particle size of about 50 μm to about 600 μm .

38. The suspension of claim 27, the mixture of sugars being about 40% to about 90% by weight of the formulation.

39. The dry formulation of claim 27, the mixture of sugars being about 45% to about 55% by weight of the formulation.

40. The suspension of claim 27, wherein the weight ratio of sorbitol to the at least one other sugar in the dry formulation is at least about 1.3:1.

41. The suspension of claim 27, wherein the at least one other sugar is selected from the group consisting of: a polysaccharide, a oligosaccharide, a disaccharide, and a monosaccharide, or a mixture of two or more sugars selected from one or more of the above.

42. The suspension of claim 27, wherein the at least one other sugar comprises sucrose.

43. The suspension of claim 42, the mixture of sugars comprising about 20% to about 35% by weight sucrose, and about 25% to about 40% by weight sorbitol.

44. The suspension of claim 42, wherein the at least one other sugar further comprises fructose.

45. The suspension of claim 44, the mixture of sugars comprising about 30% to about 40%

by weight sucrose, about 30% to about 40% by weight sorbitol, and about 5% to about 15% by weight fructose.

46. The suspension of claim 27, further comprising a flavoring agent.

47. A method of treating or preventing a gram-positive bacterial infection comprising:

orally administering to a subject a therapeutically effective dose of a suspension formulation, comprising:

coated linezolid particles, each of the particles comprising a core comprising linezolid and a polymer film coating at least part of the core; and

a mixture of sugars comprising sorbitol and at least one other sugar, the sorbitol being present in a non-diarrheogenic amount.

48. The method of claim 47, wherein the subject is a mammal.

49. The method of claim 47, wherein the subject is a human being.

50. The method of claim 47, wherein the gram-positive bacterial infection treated or prevented is due to a bacteria of a genera selected from the group consisting of: *Staphylococcus* (e.g., *Staphylococcus aureus*, *Staphylococcus epidermidis*), *Streptococcus* (e.g., *Streptococcus viridans*, *Streptococcus pneumoniae*), *Enterococcus*, *Bacillus*, *Corynebacterium*, *Chlamydia* and *Neisseria*.

51. The method of claim 47, the polymer film coating at least 80% of the core of each of the particles.

52. The method of claim 47, wherein the coated oxazolidinone particles are microencapsulated oxazolidinone particles.

53. The method of claim 47, wherein the coated oxazolidinone particles are coacervated oxazolidinone particles.

54. The method of claim 47, wherein the polymer film comprises a hydrophobic polymer selected from the group consisting of: vinyl acetate, vinyl chloride, vinyl carbonate, methacrylic acid, a polymethacrylic acid copolymer, other polymethylmethacrylates, ethyl cellulose, nitrocellulose, vinylidene chloride-acrylonitrile copolymer, acrylonitrile-styrene copolymer, polyethylene, polyethylene oxide, polystyrene, ethylene vinyl acetate, cellulose acetate, cellulose acetate phthalate, cellulose acetate butyrate,

hydroxypropylmethylcellulose phthalate.

55. The method of claim 47, wherein the polymer film comprises methacrylic acid or a polymethacrylic acid copolymer.

56. The method of claim 47, wherein the polymer film is ethylcellulose.

57. The method of claim 47, wherein the polymer film further comprises a surfactant.

58. The method of claim 47, wherein the coated oxazolidinone particles have an average particle size of about 50 μm to about 600 μm .

59. The method of claim 47, the mixture of sugars being about 40% to about 90% by weight of the formulation.

60. The dry formulation of claim 47, the mixture of sugars being about 45% to about 55% by weight of the formulation.

61. The method of claim 47, wherein the weight ratio of sorbitol to the at least one other sugar in the dry formulation is at least about 1.3:1.

62. The method of claim 47, wherein the at least one other sugar is selected from the group consisting of: a polysaccharide, a oligosaccharide, a disaccharide, and a monosaccharide, or a mixture of two or more sugars selected from one or more of the above.

63. The method of claim 47, wherein the at least one other sugar comprises sucrose.

64. The method of claim 63, the mixture of sugars comprising about 20% to about 35% by weight sucrose, and about 25% to about 40% by weight sorbitol.

65. The method of claim 63, wherein the at least one other sugar further comprises fructose.

66. The method of claim 65, the mixture of sugars comprising about 30% to about 40% by weight sucrose, about 30% to about 40% by weight sorbitol, and about 5% to about 15% by weight fructose.

67. The method of claim 47, further comprising a flavoring agent.